

10/048,348

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.42	5.63

SINCE FILE	TOTAL
ENTRY	SESSION
5.42	5.63

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 25 Nov 2003 (20031125/PD)
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>>> the earliest to the latest publication. <<<
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=> s osteoporosis and estrogen
      10431 OSTEOPOROSIS
      9899 ESTROGEN
L2      2487 OSTEOPOROSIS AND ESTROGEN
```

=> d 12 300-310

L2 ANSWER 300 OF 2487 USPATFULL on STN
AN 2003:200910 USPATFULL
TI Drug metabolizing enzymes
IN Tang, Y. Tom, San Jose, CA, UNITED STATES
Baughn, Mariah R., San Leandro, CA, UNITED STATES

Yao, Monique G., Mountain View, CA, UNITED STATES
 Bandman, Olga, Mountain View, CA, UNITED STATES
 Azimzai, Yalda, Castro Valley, CA, UNITED STATES
 Lal, Preeti, Santa Clara, CA, UNITED STATES
 Gandhi, Ameena R., San Francisco, CA, UNITED STATES
 Ring, Huijun Z., Los Altos, CA, UNITED STATES
 Shih, Leo L., Palo Alto, CA, UNITED STATES
 Yang, Junming, San Jose, CA, UNITED STATES
 Policky, Jennifer L., San Jose, CA, UNITED STATES
 Yue, Henry, Sunnyvale, CA, UNITED STATES
 PI US 2003138895 A1 20030724
 AI US 2002-182951 A1 20020731 (10)
 WO 2001-US4423 20010208
 DT Utility
 FS APPLICATION
 LN.CNT 7363
 INCL INCLM: 435/069.100
 INCLS: 435/183.000; 435/320.100; 435/325.000; 435/006.000; 536/023.200
 NCL NCLM: 435/069.100
 NCLS: 435/183.000; 435/320.100; 435/325.000; 435/006.000; 536/023.200
 IC [7]
 ICM: C12Q001-68
 ICS: C07H021-04; C12N009-00; C12P021-02; C12N005-06
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 301 OF 2487 USPATFULL on STN
 AN 2003:200826 USPATFULL
 TI BioMAP analysis
 IN Plavec, Ivan, Sunnyvale, CA, UNITED STATES
 Berg, Ellen L., Palo Alto, CA, UNITED STATES
 Butcher, Eugene C., Portola Valley, CA, UNITED STATES
 PI US 2003138811 A1 20030724
 AI US 2002-236558 A1 20020905 (10)
 RLI Continuation-in-part of Ser. No. WO 2001-US7190, filed on 6 Mar 2001,
 PENDING
 PRAI US 2000-186976P 20000306 (60)
 US 2000-195672P 20000407 (60)
 DT Utility
 FS APPLICATION
 LN.CNT 3389
 INCL INCLM: 435/006.000
 INCLS: 435/455.000; 435/325.000; 702/020.000
 NCL NCLM: 435/006.000
 NCLS: 435/455.000; 435/325.000; 702/020.000
 IC [7]
 ICM: C12Q001-68
 ICS: G06F019-00; G01N033-48; G01N033-50; C12N005-06
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 302 OF 2487 USPATFULL on STN
 AN 2003:200810 USPATFULL
 TI Polynucleotide encoding a novel human growth factor with homology to
 epidermal growth factor, BGS-8, expressed highly in immune tissue
 IN Wu, Shujian, Langhorne, PA, UNITED STATES
 Lee, Liana M., North Brunswick, NJ, UNITED STATES
 Feder, John N., Belle Mead, NJ, UNITED STATES
 PI US 2003138795 A1 20030724
 AI US 2002-173461 A1 20020614 (10)
 PRAI US 2001-298340P 20010614 (60)
 DT Utility
 FS APPLICATION
 LN.CNT 13042

INCL INCLM: 435/006.000
INCLS: 435/069.100; 435/183.000; 435/320.100; 435/325.000; 536/023.200
NCL NCLM: 435/006.000
NCLS: 435/069.100; 435/183.000; 435/320.100; 435/325.000; 536/023.200
IC [7]
ICM: C12Q001-68
ICS: C07H021-04; C12N009-00; C12P021-02; C12N005-06
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 303 OF 2487 USPATFULL on STN
AN 2003:200443 USPATFULL
TI Human tumor necrosis factor receptor-like proteins TR11, TR11SV1, and TR11SV2
IN Ni, Jian, Germantown, MD, UNITED STATES
Ruben, Steven M., Brookville, MD, UNITED STATES
PA Human Genome Sciences, Inc., Rockville, MD, UNITED STATES, 20850 (U.S. corporation)
PI US 2003138426 A1 20030724
AI US 2002-283105 A1 20021030 (10)
RLI Continuation-in-part of Ser. No. US 2001-915593, filed on 27 Jul 2001, PENDING Continuation-in-part of Ser. No. US 2000-512363, filed on 23 Feb 2000, GRANTED, Pat. No. US 6503184 Continuation-in-part of Ser. No. US 1998-176200, filed on 21 Oct 1998, GRANTED, Pat. No. US 6509173
PRAI US 2001-330757P 20011030 (60)
US 2000-221577P 20000728 (60)
US 1999-144076P 19990716 (60)
US 1999-134172P 19990513 (60)
US 1999-121648P 19990224 (60)
US 1997-63212P 19971021 (60)
DT Utility
FS APPLICATION
LN.CNT 12581
INCL INCLM: 424/146.100
INCLS: 435/007.200; 530/388.260
NCL NCLM: 424/146.100
NCLS: 435/007.200; 530/388.260
IC [7]
ICM: A61K039-395
ICS: G01N033-53; G01N033-567; C07K016-40
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 304 OF 2487 USPATFULL on STN
AN 2003:200439 USPATFULL
TI Antibody inhibitors of GDF-8 and uses thereof
IN Aghajanian, Jane, Belgrade, ME, UNITED STATES
Dunham, William J., Belgrade, ME, UNITED STATES LR
Wolfman, Neil M., Dover, MA, UNITED STATES
O'Hara, Denise, Reading, MA, UNITED STATES
Davies, Monique V., Harpswell, MA, UNITED STATES
Veldman, Geertruida M., Sudbury, MA, UNITED STATES
Bridges, Kristie Grove, Maynard, MA, UNITED STATES
Whittemore, Lisa-Anne, East Walpole, MA, UNITED STATES
Khurana, Tejvir S., Narberth, PA, UNITED STATES
Bouxsein, Mary L., Brookline, MA, UNITED STATES
PI US 2003138422 A1 20030724
AI US 2002-253532 A1 20020925 (10)
PRAI US 2001-324528P 20010926 (60)
DT Utility
FS APPLICATION
LN.CNT 2606
INCL INCLM: 424/145.100
INCLS: 530/388.240; 435/326.000

NCL NCLM: 424/145.100
NCLS: 530/388.240; 435/326.000
IC [7]
ICM: A61K039-395
ICS: C12N005-06; C07K016-22
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 305 OF 2487 USPATFULL on STN
AN 2003:197132 USPATFULL
TI S-adenosyl methionine regulation of metabolic pathways and its use in
diagnosis and therapy
IN Schwartz, Dennis E., Redmond, WA, United States
Vermeulen, Nicolaas M. J., Woodinville, WA, United States
O'Day, Christine L., Mountlake Terrace, WA, United States
PA MediQuest Therapeutics, Inc., Seattle, WA, United States (U.S.
corporation)
PI US 6596701 B1 20030722
WO 9633703 19961031
AI US 1998-930128 19980316 (8)
WO 1996-US5799 19960425
RLI Continuation-in-part of Ser. No. US 1995-476447, filed on 7 Jun 1995,
now abandoned Continuation-in-part of Ser. No. US 1995-428963, filed on
25 Apr 1995
DT Utility
FS GRANTED
LN.CNT 4938
INCL INCLM: 514/046.000
INCLS: 435/007.100; 528/338.000; 528/340.000
NCL NCLM: 514/046.000
NCLS: 435/007.100; 528/338.000; 528/340.000
IC [7]
ICM: A01N043-04
ICS: G01N033-53; C08G069-26
EXF 435/7.1; 514/46; 528/338; 528/340
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 306 OF 2487 USPATFULL on STN
AN 2003:195048 USPATFULL
TI PPAR-gamma modulator
IN Amemiya, Yoshiya, Yokohama-shi, JAPAN
Wakabayashi, Kenji, Urayasu-shi, JAPAN
Takaishi, Sachiko, Ohta-ku, JAPAN
Fukuda, Chie, Shinagawa-ku, JAPAN
PA SANKYO COMPANY, LIMITED, Tokyo, JAPAN (non-U.S. corporation)
PI US 2003134859 A1 20030717
AI US 2002-278387 A1 20021023 (10)
RLI Continuation-in-part of Ser. No. WO 2001-JP3655, filed on 26 Apr 2001,
UNKNOWN
PRAI JP 2000-129565 20000428
JP 2001-60366 20010305
DT Utility
FS APPLICATION
LN.CNT 6541
INCL INCLM: 514/247.000
INCLS: 514/252.010; 514/255.050; 514/255.060; 514/256.000; 514/340.000;
514/365.000; 514/374.000; 514/375.000; 514/372.000; 514/415.000;
514/416.000; 514/406.000; 514/619.000; 514/616.000; 514/603.000;
514/471.000; 514/310.000; 514/314.000; 514/459.000; 514/457.000;
544/238.000; 544/333.000; 544/295.000; 544/405.000; 546/268.100;
548/146.000; 548/152.000; 548/217.000; 548/207.000; 548/241.000
NCL NCLM: 514/247.000
NCLS: 514/252.010; 514/255.050; 514/255.060; 514/256.000; 514/340.000;

514/365.000; 514/374.000; 514/375.000; 514/372.000; 514/415.000;
514/416.000; 514/406.000; 514/619.000; 514/616.000; 514/603.000;
514/471.000; 514/310.000; 514/314.000; 514/459.000; 514/457.000;
544/238.000; 544/333.000; 544/295.000; 544/405.000; 546/268.100;
548/146.000; 548/152.000; 548/217.000; 548/207.000; 548/241.000

IC [7]
ICM: A61K031-506
ICS: A61K031-501; A61K031-497; A61K031-4439; A61K031-427; A61K031-422;
A61K031-4035; A61K031-404; A61K031-4709; A61K031-366

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 307 OF 2487 USPATFULL on STN

AN 2003:195018 USPATFULL

TI Halogenated sulphamate-, phosphonate-, thiophosphonate-, sulphonate- and
sulphonamide- compounds as inhibitors of steroid sulphatase

IN Reed, Michael John, Sterix Limited, UNITED KINGDOM
Lloyd Potter, Barry Victor, Sterix Limited, UNITED KINGDOM
Hejaz, Hatem, Dubai, UNITED ARAB EMIRATES
Purohit, Atul, Sterix Limited, UNITED KINGDOM

PI US 2003134829 A1 20030717

AI US 2002-165599 A1 20020607 (10)

RLI Continuation-in-part of Ser. No. WO 2000-GB4689, filed on 7 Dec 2000,
UNKNOWN

PRAI WO 2001-44268 20010621

GB 1999-29445 19991213

GB 2000-4317 20000223

GB 2000-18040 20000721

DT Utility

FS APPLICATION

LN.CNT 2149

INCL INCLM: 514/177.000

INCLS: 552/523.000

NCL NCLM: 514/177.000

NCLS: 552/523.000

IC [7]

ICM: A61K031-56

ICS: C07J031-00

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 308 OF 2487 USPATFULL on STN

AN 2003:195000 USPATFULL

TI Methods and compositions comprising hydroxyapatite useful for the
administration of therapeutic agents

IN Jackson, John, Vancouver, CANADA
Springate, Christopher, Vancouver, CANADA
Wong, Wesley, Vancouver, CANADA
Burt, Helen M., Vancouver, CANADA

PI US 2003134811 A1 20030717

AI US 2002-259277 A1 20020926 (10)

PRAI US 2001-328379P 20011009 (60)

US 2001-328175P 20011009 (60)

DT Utility

FS APPLICATION

LN.CNT 1953

INCL INCLM: 514/044.000

INCLS: 514/449.000; 514/251.000

NCL NCLM: 514/044.000

NCLS: 514/449.000; 514/251.000

IC [7]

ICM: A61K048-00

ICS: A61K031-525; A61K031-337

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 309 OF 2487 USPATFULL on STN
 AN 2003:194999 USPATFULL
 TI Methods and compositions comprising biocompatible materials useful for
 the administration of therapeutic agents
 IN Springate, Chris, Vancouver, CANADA
 Jackson, John K., Vancouver, CANADA
 Winternitz, Charles, Delta, CANADA
 Burt, Helen M., Vancouver, CANADA
 PI US 2003134810 A1 20030717
 AI US 2002-259260 A1 20020926 (10)
 PRAI US 2001-328175P 20011009 (60)
 US 2001-328203P 20011009 (60)
 DT Utility
 FS APPLICATION
 LN.CNT 2217
 INCL INCLM: 514/044.000
 INCLS: 514/055.000
 NCL NCLM: 514/044.000
 NCLS: 514/055.000
 IC [7]
 ICM: A61K048-00
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 310 OF 2487 USPATFULL on STN
 AN 2003:194977 USPATFULL
 TI Human tumor necrosis factor receptor TR16
 IN Baker, Kevin P., Darnestown, MD, UNITED STATES
 Young, Paul E., Gaithersburg, MD, UNITED STATES
 Ruben, Steven M., Olney, MD, UNITED STATES
 PI US 2003134788 A1 20030717
 AI US 2002-73333 A1 20020213 (10)
 RLI Continuation-in-part of Ser. No. US 2000-637856, filed on 10 Aug 2000,
 ABANDONED
 PRAI US 2001-268364P 20010214 (60)
 US 1999-148348P 19990812 (60)
 US 1999-148683P 19990813 (60)
 US 1999-148758P 19990816 (60)
 US 1999-148870P 19990813 (60)
 US 1999-149181P 19990817 (60)
 US 1999-149453P 19990818 (60)
 US 1999-149498P 19990819 (60)
 DT Utility
 FS APPLICATION
 LN.CNT 13800
 INCL INCLM: 514/012.000
 INCLS: 530/350.000; 536/023.500; 435/069.100; 435/320.100; 435/325.000
 NCL NCLM: 514/012.000
 NCLS: 530/350.000; 536/023.500; 435/069.100; 435/320.100; 435/325.000
 IC [7]
 ICM: A61K038-17
 ICS: C07K014-715; C12P021-02; C12N005-06; C07H021-04
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> s 11 and pd<1995
 0 L1
 1890748 PD<1995
 (PD<19950000)
 L3 0 L1 AND PD<1995

=> s 12 and pd<1995

1890748 PD<1995
(PD<19950000)

L4 189 L2 AND PD<1995

=> d 14 179-189 bib, ab, kwic

L4 ANSWER 179 OF 189 USPATFULL on STN
AN 78:63787 USPATFULL
TI Antiosteoporotic agents
IN Samour, Carlos M., Wellesley, MA, United States
Vida, Julius A., Greenwich, CT, United States
PA Bristol-Myers Company, New York, NY, United States (U.S. corporation)
PI US 4125621 19781114 <--
AI US 1978-866930 19780104 (5)
RLI Division of Ser. No. US 1977-795570, filed on 10 May 1977, now patented,
Pat. No. US 4101668
DT Utility
FS Granted
EXNAM Primary Examiner: Friedman, Stanley J.
LREP Simon, Morton S., Berdo, Robert H.
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 431

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB It is disclosed that compounds of the formula: ##STR1## WHEREIN Y represents (C.dbd.O).sub.m in which m has a value of 0 or 1; n has a value of 0 or 1; and X represents S, NH or O; provided that there is a COOH substituent at the 1, 2 or 3 position relative to the X group; and further provided that when X is NH and n is 0, the COOH group cannot be at the 2 position; or a nontoxic, pharmaceutically acceptable salt thereof are capable of decreasing the ratio of the rates of bone resorption to bone deposition in a host animal, e.g., in the treatment of **osteoporosis**.

PI US 4125621 19781114 <--

AB . . . the ratio of the rates of bone resorption to bone deposition in a host animal, e.g., in the treatment of **osteoporosis**.

SUMM **Osteoporosis** is a common condition in adults which is evidenced by a decrease in bone density throughout the body. In fact, . . . more rapid in women than in men. However, after age 80 there is no sex difference in the incidence of **osteoporosis**. In the course of 10 to 20 years of bone loss there may be symptoms of back pain and X-ray. . . the bones becomes evident by the ease in which the hip bone fractures as the result of a simple fall. **Osteoporosis** is the most common cause of fractures in people over age 45.

SUMM Although the cause of **osteoporosis** is poorly understood, it is believed that there is an imbalance between bone production and bone resorption (bone breakdown). Bone. . .

SUMM . . . Whedon, Clinical Endocrinology, II, 349-376 (1968)). Moreover, it is estimated that there are currently another 10 million persons suffering from **osteoporosis** who have not yet developed symptoms. Various types of **osteoporosis** are designated according to special conditions believed to be causative: senile (aging); post-menopausal (female loss of estrogenesis); disuse (chronic immobilization); steroid (long term steroid treatment as in arthritis). **Osteoporosis** may also be manifested in dental problems since the jaw bone appears to lose mass more rapidly than any other bone. Thus, periodontal disease involving a loosening of the adult teeth may be an early sign of **osteoporosis**.

SUMM Anabolic agents and **estrogen** therapy have been the therapy of choice for **osteoporosis** in post-menopausal women. Unfortunately, recent studies have indicated that patients taking

estrogens may have an increased incidence of cancer of. . .
SUMM Physical therapy is another method currently used to treat
osteoporosis since immobilization can cause **osteoporosis**
at any age. Thus, many physicians believe that exercise and physical
therapy can prevent the progression of the disease in. . . physical
therapy can be harmful for patients with fractures and moreover,
overstrenuous exercise can cause fractures in patients with severe
osteoporosis.
SUMM . . . which is symptomatic in some elderly patients. There is,
however, no evidence that a higher intake of calcium will prevent
osteoporosis or increase bone mass and it could increase urinary
calcium excretion.
SUMM The most promising therapeutic approach to the treatment of
osteoporosis is the administration of agents which have been
designed to modify the balance between the rate of bone production and.
SUMM . . . e.g., bovine, etc., source. Thus, none of the foregoing agents
are at present suitable for use in the treatment of **osteoporosis**
SUMM It is an object of this invention to provide a method wherein a host
animal, including man, suffering from **osteoporosis** is treated
in order to modify the balance between the rates of bone deposition and
bone resorption in said host. . .
SUMM . . . are capable of reducing the relative rate of bone resorption
and are thus useful in, for example, the treatment of
osteoporosis.
DETD . . . media of other necessary but unknown factors. Therefore,
compound 327-9 was tested in vivo for its ability to prevent
immobilization **osteoporosis**. Rats (150-180 g.) were utilized
as the subjects in this experiment. The triceps tibial insertion (knee
cap tendons) were severed. . .
CLM What is claimed is:
6. A process in accordance with claim 1, wherein said host animal is
treated for **osteoporosis**.

L4 ANSWER 180 OF 189 USPATFULL on STN
AN 78:37955 USPATFULL
TI Antiosteoporotic agents
IN Samour, Carlos M., Wellesley, MA, United States
Vida, Julius A., Greenwich, CT, United States
PA Bristol-Myers Company, New York, NY, United States (U.S. corporation)
PI US 4101668 19780718 <--
AI US 1977-795570 19770510 (5)
DT Utility
FS Granted
EXNAM Primary Examiner: Friedman, Stanley J.
LREP Simon, Morton S., Berdo, Robert H.
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 430

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB It is disclosed that compounds of the formula: ##STR1## WHEREIN Y
represents (C.dbd.O).sub.m in which m has a value of 0 or 1; n has a
value of 0 or 1; and X represents S, NH or O; provided that there is a
COOH substituent at the 1, 2 or 3 position relative to the X group; and
further provided that when X is NH and n is O, the COOH group cannot be
at the 2 position; and further provided that when n is 0, the carboxyl
group is attached to the ring containing the X and Y ring members or a
nontoxic, pharmaceutically acceptable salt thereof are capable of
decreasing the ratio of the rates of bone resorption to bone deposition

in a host animal, e.g., in the treatment of **osteoporosis**.

PI US 4101668 19780718 <--

AB . . . the ratio of the rates of bone resorption to bone deposition in a host animal, e.g., in the treatment of **osteoporosis**.

SUMM **Osteoporosis** is a common condition in adults which is evidenced by a decrease in bone density throughout the body. In fact, . . . more rapid in women than in men. However, after age 80 there is no sex difference in the incidence of **osteoporosis**. In the course of 10 to 20 years of bone loss there may be symptoms of back pain and X-ray. . . the bones becomes evident by the ease in which the hip bone fractures as the result of a simple fall. **Osteoporosis** is the most common cause of fractures in people over age 45.

SUMM Although the cause of **osteoporosis** is poorly understood, it is believed that there is an imbalance between bone production and bone resorption (bone breakdown). Bone. . .

SUMM . . . Whedon, Clinical Endocrinology, II, 349-376 (1968)). Moreover, it is estimated that there are currently another 10 million persons suffering from **osteoporosis** who have not yet developed symptoms. Various types of **osteoporosis** are designated according to special conditions believed to be causative: senile (aging); post-menopausal (female loss of estrogenesis); disuse (chronic immobilization); steroid (long term steroid treatment as in arthritis). **Osteoporosis** may also be manifested in dental problems since the jaw bone appears to lose mass more rapidly than any other bone. Thus, periodontal disease involving a loosening of the adult teeth may be an early sign of **osteoporosis**.

SUMM Anabolic agents and **estrogen** therapy have been the therapy of choice for **osteoporosis** in post-menopausal women. Unfortunately, recent studies have indicated that patients taking estrogens may have an increased incidence of cancer of. . .

SUMM Physical therapy is another method currently used to treat **osteoporosis** since immobilization can cause **osteoporosis** at any age. Thus, many physicians believe that exercise and physical therapy can prevent the progression of the disease in. . . physical therapy can be harmful for patients with fractures and moreover, over strenuous exercise can cause fractures in patients with severe **osteoporosis**.

SUMM . . . which is symptomatic in some elderly patients. There is, however, no evidence that a higher intake of calcium will prevent **osteoporosis** or increase bone mass and it could increase urinary calcium excretion.

SUMM The most promising therapeutic approach to the treatment of **osteoporosis** is the administration of agents which have been designed to modify the balance between the rate of bone production and. . .

SUMM . . . e.g., bovine, etc., source. Thus, none of the foregoing agents are at present suitable for use in the treatment of **osteoporosis**.

SUMM It is an object of this invention to provide a method wherein a host animal, including man, suffering from **osteoporosis** is treated in order to modify the balance between the rates of bone deposition and bone resorption in said host. . .

SUMM . . . are capable of reducing the relative rate of bone resorption and are thus useful in, for example, the treatment of **osteoporosis**.

DETD . . . media of other necessary but unknown factors. Therefore, compound 327-9 was tested in vivo for its ability to prevent immobilization **osteoporosis**. Rats (150-180 g.) were utilized as the subjects in this experiment. The triceps tibial insertion (knee cap tendons) were severed. . .

CLM What is claimed is:

8. A process in accordance with claim 1, wherein said host animal is

treated for **osteoporosis**.

L4 ANSWER 181 OF 189 USPATFULL on STN
AN 78:32164 USPATFULL
TI Method of treating the symptoms of menopause and **osteoporosis**
IN Benson, Harvey D., Cincinnati, OH, United States
Grunwell, Joyce Francis, Hamilton, OH, United States
Johnston, John O'Neal, Cincinnati, OH, United States
Petrow, Vladimir, Chapel Hill, NC, United States
PA Richardson-Merrell Inc., Wilton, CT, United States (U.S. corporation)
PI US 4096254 19780620 <--
AI US 1977-770400 19770222 (5)
RLI Continuation-in-part of Ser. No. US 1976-684949, filed on 10 May 1976,
now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Hattan, L. Ruth, Retter, Eugene O., Rauchfuss, Jr., George W.
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 729
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the following general formula are useful in treating the
symptoms of menopause and **osteoporosis**: ##STR1## wherein R is
--CHO or --CH.sub.2 OR.sub.1 ; each of R.sub.1 and R.sub.2 is hydrogen,
alkylcarbonyl wherein the alkyl moiety has from 1 to 20 carbon atoms and
is straight or branched, benzoyl, phenylalkylcarbonyl wherein the alkyl
moiety has from 1 to 6 carbon atoms and is straight or branched or
cycloalkylcarbonyl wherein the cycloalkyl moiety has from 5 to 10 carbon
atoms; R.sub.3 is hydrogen; or R.sub.2 and R.sub.3 together form a
double bond between the 17-position carbon atom and the oxygen atom.
TI Method of treating the symptoms of menopause and **osteoporosis**
PI US 4096254 19780620 <--
AB Compounds of the following general formula are useful in treating the
symptoms of menopause and **osteoporosis**: ##STR1## wherein R is
--CHO or --CH.sub.2 OR.sub.1 ; each of R.sub.1 and R.sub.2 is hydrogen,
alkylcarbonyl wherein the alkyl. . .
SUMM This invention relates to methods of treating the symptoms of menopause
and **osteoporosis** and pharmaceutical compositions useful for
said treatment.
SUMM It is believed that the symptoms of menopause are due primarily to
estrogen deficiency since when menopause occurs there is a
marked decrease in ovarian **estrogen** production and since the
administration of estrogens, for example, diethylstilbestrol, conjugated
estrogens or estradiol provide a specific and effective manner. . .
be set against the undoubted benefits resulting from their use. For
example, diethylstilbestrol, a once widely used and well established
estrogen, has been implicated as possibly being responsible for
vaginal cancer and adenosis of the female offspring of pregnant women
treated. . .
SUMM The present invention provides a novel and improved method of treating
the symptoms of menopause and **osteoporosis** which comprises
administering androstene compounds described more fully hereinbelow.
Some of the compounds employed in the present invention, for example,.
. . knowledge, the use of the compounds employed in the present
invention in the treatment of the symptoms of menopause or
osteoporosis has not been taught or suggested heretofore.
SUMM This invention relates to a method of treating the symptoms of menopause
and **osteoporosis** by administering a compound of the following
general formula: ##STR2## wherein R is --CHO or --CH.sub.2 OR.sub.1 ;

each of. . .

DETD . . . of the skin, particularly exposed facial skin and a thinning of the epidermis and loss of rete ridges, and post-menopausal **osteoporosis** or osteopenia. Other symptoms of menopause include chilling sensations, paresthesias, and muscle cramps. The present invention also relates to the treatment of **osteoporosis** in warm blooded animals and mammals for example, dogs, cats, rats, bovine cows, horses and humans including but not limited. . . The methods of the present invention offer distinct advantages over the usual methods of treating the symptoms of menopause and **osteoporosis**, that is, **estrogen** therapy, in that the compounds employed do not result in certain deleterious side effects resulting with **estrogen** therapy as will become more apparent hereinafter.

DETD . . . compounds as represented by each of general Formulas II and III in the treatment of the symptoms of menopause and **osteoporosis** represent preferred embodiments of this invention. The use in the treatment of the symptoms of menopause and **osteoporosis** of the compounds of general Formula III represents a more specifically preferred embodiment of this invention. Other embodiments of this. . . of the compounds as represented by general Formulas IV and V in the treatment of the symptoms of menopause and **osteoporosis** with the use of the compounds of general Formula IV wherein R.sub.1 and R.sub.2 each represent hydrogen and the compounds. . .

DETD In the treatment of **osteoporosis** the compounds employed in the present invention can be administered alone or in the form of pharmaceutical preparations to the. . . to be treated and the severity of the condition. The effective amount of compound to be administered orally in treating **osteoporosis** in humans will vary from about 0.01 mg/kg up to 3.0 mg/kg, and preferable from about 0.1 mg/kg to 1.0 mg/kg. For parenteral administration the effective amount of compound to be administered in treating **osteoporosis** in humans will vary from about 0.01 mg/kg up to 3 mg/kg and preferably from 0.1 mg/kg to 1.0 mg/kg. The effective amount of compound to be employed in treating **osteoporosis** in warm blooded animals and mammals other than humans will vary from about 0.01 mg/kg to about 30 mg/kg, preferably. . . 10 mg/kg and most preferably about 0.1 mg/kg to 3 mg/kg. As used herein in reference to the treatment of **osteoporosis** the term patient is taken to mean warm blooded animals, mammals, for example, dogs, cats, rats, bovine cows, horses and humans. **Osteoporosis** in the art is a recognized bone disorder or skeletal disorder associated with loss of hydroxyapatite, that is, calcium phosphate. . .

DETD . . . in need thereof in the effective amounts described hereinabove results in the effective treatment of the symptoms of menopause and **osteoporosis** without the occurrence of certain deleterious side effects reported to occur with the administration of estrogenic agents including uterine endometrial. . .

DETD Since with the occurrence of menopause there is a marked reduction of ovarian **estrogen** production resulting in a gap in the reproductive hypothalamo-pituitary-ovarian feedback system there is an increase in circulating levels of gonadotrophins,. . .

DETD . . . that the compounds employed at the effective dosages enumerated hereinabove do not result in certain deleterious side effects associated with **estrogen** therapy, such as, uterine growth and interference with blood clotting mechanisms.

DETD The data contained in the following Table I indicate that 3,17-dioxoandrost-4-en-19-al does not bind in vitro with the **estrogen** receptor of uterine **estrogen** target tissue. This binding is the first step necessary for hormonal action. To obtain these data female hamsters were ovariectomized. . .

DETD TABLE 1

Uterine Cytosol Affinity

Treatment Relative **Estrogen**
Binding Affinity

Estradiol	100
Estrone	22
Estriol	10
3,17-Dioxoandrost-4-en-19-al	0.01

DETD The lack of **estrogen** binding affinity of 3,17-dioxoandrost-4-en-19-al supports the finding of lack of certain estrogenic side effects of the compounds employed in the. . .

CLM What is claimed is:
15. A method of treating **osteoporosis** in a patient in need thereof which comprises administering to said patient a compound of the formula in an amount effective to treat **osteoporosis**:
##STR10## wherein R is --CHO or --CH.sub.2 OR.sub.1 ; each of R.sub.1 and R.sub.2 is hydrogen, alkylcarbonyl wherein the alkyl. . .

L4 ANSWER 182 OF 189 USPATFULL on STN

AN 78:13014 USPATFULL

TI Method of inducing an estrogenic response

IN Benson, Harvey D., Cincinnati, OH, United States
Grunwell, Joyce Francis, Hamilton, OH, United States
Johnston, John O'Neal, Cincinnati, OH, United States
Petrov, Vladimir, Chapel Hill, NC, United States

PA Richardson-Merrell Inc., Wilton, CT, United States (U.S. corporation)

PI US 4078060 19780307 <--

AI US 1976-684944 19760510 (5)

DT Utility

FS Granted

EXNAM Primary Examiner: Roberts, Elbert L.

LREP Hattan, L. Ruth, Retter, Eugene O., Rauchfuss, Jr., George W.

CLMN Number of Claims: 7

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 769

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the following general formula are useful in inducing an estrogenic response in a patient in need thereof: ##STR1## wherein R is --CHO or --CH.sub.2 OR.sub.1 ; each of R.sub.1 and R.sub.2 is hydrogen, alkylcarbonyl wherein the alkyl moiety has from 1 to 20 carbon atoms and is straight or branched, benzoyl, phenylalkylcarbonyl wherein the alkyl moiety has from 1 to 6 carbon atoms and is straight or branched or cycloalkylcarbonyl wherein the cycloalkyl moiety has from 5 to 10 carbon atoms; R.sub.3 is hydrogen; or R.sub.2 and R.sub.3 together form a double bond between the 17-position carbon atom and the oxygen atom.

PI US 4078060 19780307 <--

SUMM . . . in women, when they are generally admixed with a progestogen, hormonal support of menopausal and post-menopausal women including treatment of **osteoporosis**, treatment of acne in men and women, slowing down male pattern baldness in men and women, treatment of atrophic vaginitis, . . . be set against the undoubted benefits resulting from their use. For example, diethylstilbestrol, a once widely used and well established **estrogen** has been implicated as possibly being responsible for vaginal cancer and adenosis in the female offspring of pregnant women treated. . . in humans and domestic animals in need thereof which will be substantially free of the undesirable side effects associated with **estrogen** therapy.

SUMM . . . inducing an estrogenic response in a patient in need thereof with lowered incidence of side effects that commonly occur with

estrogen therapy and particularly with lowered incidence of side effects upon the blood clotting systems and the uterus. In essence the . . . prevention of post-partum breast enlargement, acne, aging skin, male pattern baldness, contraception in conjunction with a progestogen for ovulation suppression, **osteoporosis**, benign prostatic hypertrophy, hirsutism, micromastia, chemical caponization of poultry, suppression of estrus in the bitch and growth promotion in cattle.

SUMM . . . of the skin, particularly exposed facial skin and a thinning of the epidermis and loss of rete ridges, and post-menopausal **osteoporosis** or osteopenia.

SUMM . . . amounts will provide a method of treatment wherein the potential for the occurrence of thrombotic effects is less than with **estrogen** treatment.

SUMM The data contained in the following Table II indicate that 3,17-dioxoandrost-4-en-19-al does not bind in vitro with the **estrogen** receptor of uterine **estrogen** target tissue. This binding is the first step necessary for hormonal action. To obtain these data female hamsters were ovariectomized. . .

SUMM TABLE II

Uterine Cytosol Affinity	
Treatment	Relative Estrogen Binding Affinity
Estradiol	100
Estrone	22
Estriol	10
3,17-Dioxoandrost-4-en-19-al	0.01

SUMM The lack of **estrogen** binding affinity of 3,17-dioxoandrost-4-en-19-al supports the finding of lack of certain estrogenic side effects of the compounds employed in the. . .

L4 ANSWER 183 OF 189 USPATFULL on STN

AN 78:6192 USPATFULL

TI Pharmaceutical preparation adapted for oral administration

IN van der Vies, Johannes, Oss, Netherlands

PA Akzona Incorporated, Asheville, NC, United States (U.S. corporation)

PI US 4071623 19780131 <--

AI US 1976-687267 19760517 (5)

PRAI NL 1975-6407 19750530

DT Utility

FS Granted

EXNAM Primary Examiner: Roberts, Elbert L.

LREP Falk, Robert H., Wendel, Charles A., Young, Francis W.

CLMN Number of Claims: 32

ECL Exemplary Claim: 1,11

DRWN No Drawings

LN.CNT 533

AB The invention relates to a novel pharmaceutical preparation with oestrogenic activity adapted for oral administration comprising an oestradiol-17.beta.-ester, the ester group of which has been derived from aliphatic carboxylic acids having 9-16 carbon atoms, in combination with a non-steroidal lipid. The preparation may additionally contain a progestational steroid or an androgen. The invention also relates to novel oestradiol-17.beta.-esters.

PI US 4071623 19780131 <--

SUMM . . . produce restitution of the hormonal balance to such an extent that in addition to other positive effects on body functions, **osteoporosis** in particular is checked. (See in this connexion, for example, the article by J. C. Gallagher and B. E. C.. . .

CLM What is claimed is:
10. A process for conducting **estrogen** deficiency therapy in a female patient requiring such therapy comprising orally administering daily to said patient from 0.001 to 2. . .

L4 ANSWER 184 OF 189 USPATFULL on STN
AN 76:30722 USPATFULL
TI 3,17,18-Trihydroxy-1,3,5(10)-estratrienes
IN Engel, Klaus, Berlin, Germany, Federal Republic of
Prezewowsky, Klaus, Berlin, Germany, Federal Republic of
Laurent, Henry, Berlin, Germany, Federal Republic of
Nishino, Yukishige, Berlin, Germany, Federal Republic of
PA Schering Aktiengesellschaft, Berlin & Bergkamen, Germany, Federal
Republic of (non-U.S. corporation)
PI US 3960841 19760601 <--
AI US 1974-487969 19740712 (5)
PRAI DE 1973-2336432 19730713
DT Utility
FS Granted
EXNAM Primary Examiner: Love, Ethel G.
LREP Millen, Raptas & White
CLMN Number of Claims: 17
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 535
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB 3,17,18-Trihydroxy-1,3,5(10)-estratrienes of the formula ##SPC1##

Wherein R is H or substituted or unsubstituted saturated or unsaturated hydrocarbon, and esters and ethers thereof, possess strong vaginotropic and only weak uterotrophic activity and are useful in the treatment of estrogenic deficiency conditions where uterine effects are not desired.

PI US 3960841 19760601 <--
SUMM . . . a favorable dissociated pharmacological activity, viz., strongly vaginotropic and weakly uterotrophic activity, and are thus suitable for the treatment of **estrogen** deficiency indications where an estrogenic effect on the vaginal epithelium is desired, but an estrogenic effect on the uterus is. . . of estrogenic deficiency in postmenopausal females. Thus, the compounds are useful to delay the aging syndrome in such females, e.g., **osteoporosis**; depressive mood, peripheral circulatory disorders, cardiac diseases and senile otosclerosis.

L4 ANSWER 185 OF 189 USPATFULL on STN
AN 76:21792 USPATFULL
TI 1,3-Oxygenated 8.alpha.-estratrienes
IN Prezewowsky, Klaus, Berlin, Germany, Federal Republic of
Laurent, Henry, Berlin, Germany, Federal Republic of
Hofmeister, Helmut, Berlin, Germany, Federal Republic of
Wiechert, Rudolf, Berlin, Germany, Federal Republic of
Neumann, Friedmund, Berlin, Germany, Federal Republic of
Nishino, Yukishige, Berlin, Germany, Federal Republic of
PA Schering Aktiengesellschaft, Berlin & Bergkamen, Germany, Federal
Republic of (non-U.S. corporation)
PI US 3951959 19760420 <--
AI US 1974-488058 19740712 (5)
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Millen, Raptas & White
CLMN Number of Claims: 24
ECL Exemplary Claim: 1

DRWN No Drawings
LN.CNT 771
AB 8.alpha.-Estratrienes of the formula ##SPC1##

Wherein R is lower alkyl and X is an oxygen atom, a .beta.-hydroxy or .beta.-hydroxy-.alpha.-substituted or unsubstituted saturated or unsaturated hydrocarbon group, and the esters and ethers thereof, possess strong vaginotropic but only weak utertropic activity and are useful in the treatment of estrogenic deficiency conditions where uteral effects are not desired.

PI US 3951959 19760420 <--
SUMM . . . an advantageous dissociated pharmacological activity, viz., strongly vaginotropic and weakly uterotropic effectiveness, they are preferably suitable for the treatment of **estrogen** deficiency where an estrogenic effect on the vaginal epithelium is desired, but an estrogenic effect on the uterus is to. . . the treatment of females in the postmenopausal period, e.g., climacteric and its sequelae, deficiency symptoms following ovariectomy and radiological castration, **osteoporosis**, depressive mood, perpheric circulatory disorders, cardiac diseases and senile otosclerosis.

L4 ANSWER 186 OF 189 USPATFULL on STN
AN 75:10177 USPATFULL
TI 17Alpha-ethynylestriol 3-Cyclopentyl ether
IN Kraay, Russell J., Indianapolis, IN, United States
Farkas, Eugene, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 3868452 19750225 <--
AI US 1973-411988 19731101 (5)
RLI Division of Ser. No. US 1971-136671, filed on 23 Apr 1971, now patented, Pat. No. US 3790605 which is a continuation-in-part of Ser. No. US 1971-127690, filed on 24 Mar 1971, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: French, Henry A.
LREP Rowe, James L., Smith, Everet F.
CLMN Number of Claims: 2
ECL Exemplary Claim: 1
DRWN 3 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 330

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 17.alpha.-Ethynylestriol 3-cyclopentyl ether, estrogenic hormone useful in treatment of menopausal syndrome and all other conditions of **estrogen** deficiency or in which estrogens may be used therapeutically.

PI US 3868452 19750225 <--
AB 17.alpha.-Ethynylestriol 3-cyclopentyl ether, estrogenic hormone useful in treatment of menopausal syndrome and all other conditions of **estrogen** deficiency or in which estrogens may be used therapeutically.

SUMM Estriol, a weak **estrogen**, has been used to treat menopausal syndrome because, unlike other estrogens, it has a relatively greater action on the vagina. . . in preference to estriol itself because of their greater activity and oral efficacy. Quinestradiol, however, is still an extremely weak **estrogen** compared to estradiol or 17.alpha.-ethynylestradiol. Quinestrol, on the other hand, is similar to other estradiol derivatives in that it causes. . .

SUMM The above compound is a potent **estrogen** having a favorable uterotrophic-vaginal ratio in its hormonal action and, in a second aspect of this invention, there is provided a method of treating menopausal syndrome, either spontaneous or induced, as well as any other

estrogen-deficiency condition utilizing the above compound as the active agent.

DETD As previously stated, 17.alpha.-ethynylestriol 3-cyclopentyl ether is a potent **estrogen** having a favorable uterotrophic-vaginal ratio in its hormonal action. The estrogenic activity of the compound is surprisingly high as measured. . . .

DETD . . . the vagina. It has been demonstrated by Jensen et al., Steroids 13, 417-427 (1969) that the binding capacity of the **estrogen** binding protein of the cytoplasm is reduced after the rat is treated with **estrogen**. This reduction in binding capacity is significantly lowered at 4 hours after **estrogen** administration and generally returns to pretreatment levels at 24 hours. Clark et al., Biochimica et Biophysica Acta 192, 508-515 (1969) reported a simple convenient method to determine the amount of **estrogen** binding protein in the cytoplasm by utilizing the adhesive properties of the protein after it had bound estradiol.

DETD . . . radioactive estradiol bound in vitro by the cytoplasmic fraction is an indication that the tissue had previously been exposed to **estrogen** which reduced the binding capacity of the **estrogen** binding protein. FIG. 3 shows the results of the above experiment using estradiol or 17.alpha.-ethynylestriol 3-cyclopentyl ether. The narrow solid. . . the vagina while not acting on the uterus. By contrast, estradiol depleted both uterine (curve 3-C) and vaginal (curve 3-D) **estrogen** binding protein by about the same amount.

DETD In employing 17.alpha.-ethynylestriol 3-cyclopentyl ether for treatment of **estrogen**-deficiency conditions, particularly spontaneous or induced menopausal syndrome, a dose which provides on the average from 5 to 500 mcg. per. . .

DETD The chief **estrogen**-deficiency state which 17.alpha.-ethynylestriol 3-cyclopentyl ether is useful in treating is menopausal syndrome, either spontaneous or induced. Included in the term. . . symptoms: hot flashes, nervous irritability, depression, nocturnal sweating, leukoplakia, senile colpitis, vaginal kraurosis, kraurosis of the vulva, pruritus vulvae, post-menopausal **osteoporosis** and premature menopausal arteriosclerosis. Other similar **estrogen**-deficiency conditions, either natural or induced, can also be treated by the process of this invention.

DETD TABLE 3

INTERACTION OF ESTRADIOL AND 17.alpha.-ETHYNYLESTRIOL 3-CYCLOPENTYL ETHER
WITH UTERINE AND VAGINAL **ESTROGEN** RECEPTORS

CPM/ml Cytoplasmic Fraction		Time After Administration (Hours)			
Dose 0		4	24	48	
SC	Uterus				
	Vagina				
	Uterus				
	Vagina				
			Uterus		
			Vagina		

CLM What is claimed is:
1. The method of treating **estrogen** deficiency symptoms in mammals which comprises administering an average of from 5 to 500 .mu.g. per day of 17.alpha.-ethynylestriol 3-cyclopentyl. . .

L4 ANSWER 187 OF 189 USPATFULL on STN
AN 72:35186 USPATFULL
TI 2-(1-HYDROXYALKYLIDENE)-3-OXO STEROIDS
IN Clinton, Raymond O., New York, NY, United States

PA Sterling Drug Inc., New York, NY, United States
 PI US 3676426 19720711 <--
 AI US 1968-778345 19681122 (4)
 RLI Continuation-in-part of Ser. No. US 1959-793292, filed on 16 Feb 1959
 which is a continuation-in-part of Ser. No. US 1958-723148, filed on 24
 Mar 1958, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: French, Henry A.
 LREP Lawson; Elmer J., Wyatt; B. Woodrow, Johnson; Thomas L., Bair; Robert
 K., Bourgeois; R. Clifford, Webb; William G., Wolfe; Roger T.
 CLMN Number of Claims: 18
 DRWN No Drawings
 LN.CNT 1910
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Steroids[3.2-c]pyrazoles, having endocrinological, including anabolic,
 activities, are prepared by interacting 2-(1-hydroxyalkylidene)-3-oxo
 steroids with hydrazine or a substituted hydrazine. The intermediate
 2-(1-hydroxyalkylidene)-3-oxo steroids are in turn prepared by
 interacting a 3-oxo steroid with a lower-alkyl lower-alkanoate in the
 presence of a strong base.
 PI US 3676426 19720711 <--
 SUMM . . . are useful in the treatment of conditions arising from poor
 nitrogen utilization; various debilitating diseases; bone conditions
 such as fractures, **osteoporosis**, osteogenesis imperfecta;
 degenerative joint diseases; traumatic injuries which bring about losses
 of large amounts of nitrogen, such as severe burns;. . .
 SUMM . . . interstitial cell-stimulating hormones. The pituitary
 inhibiting properties were determined by standard test procedures
 involving a measure of the modification of **estrogen**-induced
 endocrinopathies upon parenteral administration in male rats [Beyler et
 al., Endocrinology, 58, 471-6 (1956)].
 DETD 2-Hydroxymethylene-17.alpha.-methylandrostan-17.beta.-ol-3-one was found
 to possess significant pituitary inhibitory activity as measured by the
 enhancement of **estrogen** induced testicular atrophy in rats at
 dose levels of 10-20 mg./kg./day.
 L4 ANSWER 188 OF 189 USPATFULL on STN
 AN 71:48016 USPATFULL
 TI PHARMACEUTICAL COMPOSITIONS COMPRISING 72-METHYL ESTRONE AND METHODS FOR
 USING SAME
 IN Babcock, John C., Kalamazoo, MI, United States
 Campbell, J. Allan, Kalamazoo, MI, United States
 PA The Upjohn Company, Kalamazoo, MI, United States
 PI US 3627894 19711214 <--
 AI US 1967-666488 19670908 (4)
 RLI Continuation-in-part of Ser. No. US 1961-114621, filed on 5 Jun 1961,
 now patented, Pat. No. US 3341557 Continuation-in-part of Ser. No. US
 1960-69557, filed on 6 Nov 1960, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Roberts, Elbert L.
 LREP Cheesman; Willard L., Kekich; John
 CLMN Number of Claims: 4
 DRWN No Drawings
 LN.CNT 582
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 PI US 3627894 19711214 <--
 SUMM . . . inhibiting gonadatropin secretion, producing anabolic response,
 especially in providing nitrogen retention, and in supplying calcium
 lost as a result of **osteoporosis**. In addition, the compounds
 of formula II, when combined with progestins such as

6.alpha.-methyl-17.alpha.-hydroxyprogesterone 17-acetate (Provera),
7.alpha.-methyl-17.alpha.-ethynyl-19-nortestosterone,
17.alpha.-hydroxy-6-methyl-16-methylene-4,6-pregnadiene-3,20-dione
17-acetate (Melengestrol. . .

DETD . . . acetate), etc., are useful for the prevention of ovulation in
mammals. Administration to mammals depends on the particular progestin
and **estrogen** involved and the individual's response thereto.
In general, a dose of between about 0.01 mg. to about 5 mg. of. . .

L4 ANSWER 189 OF 189 USPATFULL on STN

AN 71:46562 USPATFULL

TI COMPOSITIONS COMPRISING 7.alpha.-METHYL-17.alpha.-ALKYLATED ESTRADIOLS

IN Babcock, John C., Kalamazoo, MI, United States

Campbell, J. Allan, Kalamazoo, MI, United States

PA The Upjohn Company, Kalamazoo, MI, United States

PI US 3626061 19711207 <--

AI US 1967-666466 19670908 (4)

RLI Continuation-in-part of Ser. No. US 1961-114621, filed on 5 Jun 1961,
now patented, Pat. No. US 3341557 Continuation-in-part of Ser. No. US
1960-69557, filed on 6 Nov 1960, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Roberts, Elbert L.

LREP Cheesman; Willard L., Kekich; John

CLMN Number of Claims: 6

DRWN No Drawings

LN.CNT 1091

AB This invention relates to novel 7.alpha.-methyl-17.alpha.-alkylated
estradiols and processes for their preparation; more particularly to
those compounds embraced by the formula (11) ##SPC1##

Wherein R is selected from the group consisting of hydrogen, the acyl
radical of a hydrocarbon carboxylic acid containing from one through 12
carbon atoms, an alkyl radical containing from one through 8 carbon
atoms, tetrahydrofuranyl, tetrahydropyranyl, 5-substituted
tetrahydropyranyl, and a silyl ##SPC2##

Selected from the group consisting of alkyl of one through eight carbon
atoms and phenyl, R' is selected from the group consisting of hydrogen,
methyl, ethyl and 1-propynyl, and R" is selected from the group
consisting of hydrogen, the acyl radical of a hydrocarbon carboxylic
acid containing from one through 12 carbon atoms, and a silyl radical of
the formula ##SPC3##

It also relates to 7.alpha.-methyl-17.alpha.-alkenylestradiols (11a) and
their preparation.

PI US 3626061 19711207 <--

SUMM . . . inhibiting gonadotropin secretion, producing anabolic response,
especially in providing nitrogen retention, and in supplying calcium
lost as a result of **osteoporosis**. In addition, the compounds
of formula II, when combined with progestins such as
6.alpha.-methyl-17.alpha.-hydroxyprogesterone 17-acetate (Provera),
7.alpha.-methyl-17.alpha.-ethynyl-19-nortestosterone,
17.alpha.-hydroxy-6-methyl-16-methylene-4,6-pregnadiene-3,20-dione
17-acetate (Melengestrol. . .

DETD . . . acetate), etc., are useful for the prevention of ovulation in
mammals. Administration to mammals depends on the particular progestin
and **estrogen** involved and the individuals response thereto. In
general, a dose of between about 0.01 mg. to about 5 mg. of. . .